(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 28 October 2004 (28.10.2004)

PCT

(10) International Publication Number WO 2004/092173 A3

- (51) International Patent Classification⁷: C07D 487/04, 519/00, A61K 31/53, 31/519, A61P 25/06, 25/16, 25/24, 25/28
- (21) International Application Number:

PCT/US2004/011009

(22) International Filing Date: 9 April 2004 (09.04.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/461,484

9 April 2003 (09.04.2003) US

- (71) Applicant (for all designated States except US): BIOGEN IDEC MA INC. [US/US]; 14 Cambridge Center, Cambridge, MA 02142 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): PENG, Hairuo [CN/US]; 54 Sherman Road, Chestnut Hill, MA 02467 (US). YAO, Gang [CN/US]; 20 Washbrook Road, Sudbury, MA 01776 (US). VU, Chi [US/US]; 79 Bay State Road, Arlington, MA 02474 (US). PETTER, Russell C. [US/US]; 343 Hudson Road, Stow, MA 01775 (US). KUMARAVEL, Gnanasambandam [IN/US]; 10 Perham Circle, Westford, MA 01886 (US).
- (74) Agent: LINKKILA, Timothy P.; 14 Cambridge Center, Cambridge, MA 02142 (US).

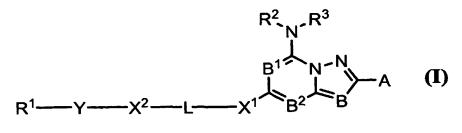
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 9 December 2004

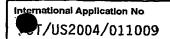
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: A_{2A} ADENOSINE RECEPTOR ANTAGONISTS



(57) Abstract: The invention is based on the discovery that compounds of formula (I) possess unexpectedly high affinity for the A_{2a} adenosine receptor, and can be useful as antagonists thereof for preventing and/or treating numerous diseases, including Parkinson's disease. In one embodiment, the invention features

INTERNATIONAL SEARCH REPORT



A. CLASSIFICATION OF SUBJECT MATTER IPC 7 CO7D487/04 CO7D519/00

A61P25/16

A61P25/24

A61K31/53 A61P25/28 A61K31/519

A61P25/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data, BEILSTEIN Data

C. DOCUM	ENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of	the relevant passages	Relevant to claim No.
Υ	US 5 356 894 A (JONES GERAINT 18 October 1994 (1994-10-18) column 10, line 64 - line 67; 70,135	•	1-39
P,X	WO 03/068776 A (WATANABE TOMO HIRONORI (JP); KANDA TOMOYUKI SHIMADA J) 21 August 2003 (20 abstract; compounds 1-9,40-46	(JP); 03-08-21)	1-39
P,X	WO 2004/029056 A (IIDA KYOICH TOMOYUKI (JP); SHIMADA JUNICH SHIOZAKI S) 8 April 2004 (200 pages 54,57; compounds 151,15	I (JP); 4-04-08)	1-39
Y	EP 0 976 753 A (KYOWA HAKKO K 2 February 2000 (2000-02-02) the whole document	OGYO KK)	1-39
χ Furti	her documents are listed in the continuation of box C.	X Patent family members are lister	d in annex.
"A" docume consid "E" earlier of filing d "L" docume which citation "O" docume other of the following statement of the following	ent which may throw doubts on priority claim(s) or is cited to establish the publication date of another n or other special reason (as specified) ent referring to an oral disclosure, use, exhibition or	 "T" later document published after the in or priority date and not in conflict will cited to understand the principle or invention "X" document of particular relevance; the cannot be considered novel or canninvolve an inventive step when the cannot be considered to involve an document of particular relevance; the cannot be considered to involve an document is combined with one or ments, such combination being obvin the art. "&" document member of the same pater 	th the application but theory underlying the claimed invention of be considered to document is taken alone claimed invention inventive step when the nore other such docupious to a person skilled
Date of the	actual completion of the international search	Date of mailing of the international se	earch report
6	October 2004	18/10/2004	
Name and r	nailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Stroeter, T	· · · · · · · · · · · · · · · · · · ·

INTERNATIONAL SEARCH REPORT

International Application No T/US2004/011009

· (O1)-A	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	T/US200	
ategory °	Citation of document, with indication, where appropriate, of the relevant passages	· · · · · · · · · · · · · · · · · · ·	Relevant to claim No.
		·	
	WO 99/43678 A (ICHIMURA MICHIO ; NAKAMURA AKIKO (JP); SHIMADA JUNICHI (JP); SHIOZAKI) 2 September 1999 (1999-09-02) the whole document		1-39
	FRANCIS J E ET AL: "STRUCTURE-ACTIVITY PROFILE OF A SERIES OF NOVEL TRIAZOLOQUINAZOLINE ADENOSINE ANTAGONISTS" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 31, 1988, pages 1014-1020, XP002136848 ISSN: 0022-2623 (whole left-hand col. of page 1018) page 1018, paragraphs 1,2; figures 1,2		1-39
	,		

INTERNATIONAL SEARCH REPORT



Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. X Claims Nos.: 28-39 because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 28 to 39 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. Claims Nos.: because they relate to parts of the international Application that do not comply with the prescribed requirements to such an extent that no meaningful international Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this international Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report Is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

HATEINIAN HOMAL SEAMON INEFORM

Information on patent family members

International Application No
T/US2004/011009

•				TE1/ 032004/ 011009			
	ent document in search report		Publication date		Patent family member(s)	.	Publication date
110 .	5356894		18-10-1994	ĄU	642494	B2 ·	21-10-1993
·	3330034	A	10 10 1057	ΆÜ		A	05-12-1991
				CA		A1	30-11-1991
•	•			CS	9101595		15-01-1992
				EP	0459702		04-12-1991
					912563		30-11-1991
	•	•		FI			
●.	·c			GB		A,B	04-12-1991
				HŪ	61311		28-12-1992
				IE	911818		04-12-1991
				ΙL	98316		26-05-1995
				JP	2504879		05-06-1996
			•	JP	5097855	Α	20-04-1993
				NO	912051	А ,В,	02-12-1991
				NZ	238288	A	27-07-1993
				OA	9358		15-09-1992
				PL	167014		31-07-1995
				PT	97776		31-03-1992
				RO	109542		30-03-1995
				ÜS	5270311		14-12-1993
				ZA	9104094		26-02-1992
				AP	248		16-03-1993
					1056879		11-12-1991
				CN			19-07-1994
				AU	5656494		
				CN	1093708		19-10-1994
				MO	9414812		07-07-1994
				HR	931512		31-12-1994
				MX	9400128		29-07-1994
				SI	9300674		30-06-1994
			ا کا ناتا کہ کا کہ جند وی نہیں ہے جو جو سے در ہے۔	ZA	9309045	A 	22-06-1994
WO	03068776	Α	21-08-2003	WO	03068776	A1	21-08-2003
WO	2004029056	Α	08-04-2004	WO	2004029056	A1	08-04-2004
EP	0976753	A	02-02-2000	AT	257156		15-01-2004
				AU	743910	B2	07-02-2002
				AU	6422198	Α	20-10-1998
				CA	2284737	A1	01-10-1998
				DE	69820866	D1	05-02-2004
	٠			EP	0976753		02-02-2000
				บร	6222035		24-04-2001
				MO	9842711		01-10-1998
	9943678	Α	02-09-1999	AU	2639299	Α	15-09-1999